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NEWS 2		"Ask CAS" for self-help around the clock
NEWS 3	Jun 03	New e-mail delivery for search results now available
NEWS 4	Aug 08	PHARMAMarketLetter(PHARMAML) - new on STN
NEWS 5	Aug 19	Aquatic Toxicity Information Retrieval (AQUIRE) now available on STN
NEWS 6	Aug 26	Sequence searching in REGISTRY enhanced
NEWS 7	Sep 03	JAPIO has been reloaded and enhanced
NEWS 8	Sep 16	Experimental properties added to the REGISTRY file
NEWS 9	Sep 16	CA Section Thesaurus available in CAPLUS and CA
NEWS 10	Oct 01	CASREACT Enriched with Reactions from 1907 to 1985
NEWS 11	Oct 24	BEILSTEIN adds new search fields
NEWS 12	Oct 24	Nutraceuticals International (NUTRACEUT) now available on STN
NEWS 13	Nov 18	DKILIT has been renamed APOLLIT
NEWS 14	Nov 25	More calculated properties added to REGISTRY
NEWS 15	Dec 04	CSA files on STN
NEWS 16	Dec 17	PCTFULL now covers WP/PCT Applications from 1978 to date
NEWS 17	Dec 17	TOXCENTER enhanced with additional content
NEWS 18	Dec 17	Adis Clinical Trials Insight now available on STN
NEWS 19	Jan 29	Simultaneous left and right truncation added to COMPENDEX, ENERGY, INSPEC
NEWS 20	Feb 13	CANCERLIT is no longer being updated
NEWS 21	Feb 24	METADEX enhancements
NEWS 22	Feb 24	PCTGEN now available on STN
NEWS 23	Feb 24	TEMA now available on STN
NEWS 24	Feb 26	NTIS now allows simultaneous left and right truncation
NEWS 25	Feb 26	PCTFULL now contains images
NEWS 26	Mar 04	SDI PACKAGE for monthly delivery of multifile SDI results
NEWS 27	Mar 20	EVENTLINE will be removed from STN
NEWS 28	Mar 24	PATDPAFULL now available on STN
NEWS 29	Mar 24	Additional information for trade-named substances without structures available in REGISTRY
NEWS 30	Apr 11	Display formats in DGENE enhanced
NEWS 31	Apr 14	MEDLINE Reload
NEWS 32	Apr 17	Polymer searching in REGISTRY enhanced
NEWS 33	Jun 13	Indexing from 1947 to 1956 added to records in CA/CAPLUS
NEWS 34	Apr 21	New current-awareness alert (SDI) frequency in WPIDS/WPINDEX/WPIX
NEWS 35	Apr 28	RDISCLOSURE now available on STN
NEWS 36	May 05	Pharmacokinetic information and systematic chemical names added to PHAR
NEWS 37	May 15	MEDLINE file segment of TOXCENTER reloaded
NEWS 38	May 15	Supporter information for ENCOMPPAT and ENCOMPLIT updated
NEWS 39	May 16	CHEMREACT will be removed from STN
NEWS 40	May 19	Simultaneous left and right truncation added to WSCA
NEWS 41	May 19	RAPRA enhanced with new search field, simultaneous left and right truncation
NEWS 42	Jun 06	Simultaneous left and right truncation added to CBNB
NEWS 43	Jun 06	PASCAL enhanced with additional data
NEWS 44	Jun 20	2003 edition of the FSTA Thesaurus is now available
NEWS 45	Jun 25	HSDB has been reloaded

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 NEWS EXPRESS  April 4 CURRENT WINDOWS VERSION IS V6.01a, CURRENT
               MACINTOSH VERSION IS V6.0b(ENG) AND V6.0Jb(JP),
               AND CURRENT DISCOVER FILE IS DATED 01 APRIL 2003
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 NEWS INTER    General Internet Information
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 NEWS PHONE    Direct Dial and Telecommunication Network Access to STN
 NEWS WWW      CAS World Wide Web Site (general information)

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=> s neuropeptide y1 (W) (antagoni? or inhibit? or block?)
L1          12 NEUROPEPTIDE Y1 (W) (ANTAGONI? OR INHIBIT? OR BLOCK?)

=> e neuropeptide y
E1          77     NEUROPEPTIDASES/BI
E2       60566     NEUROPEPTIDE/BI
E3           0 --> NEUROPEPTIDE Y/BI
E4           2     NEUROPEPTIDED/BI
E5           2     NEUROPEPTIDEES/BI
E6           1     NEUROPEPTIDEFF/BI
E7           4     NEUROPEPTIDEK/BI
E8           1     NEUROPEPTIDELE/BI
E9          12     NEUROPEPTIDELIKE/BI
E10         23     NEUROPEPTIDEN/BI
E11          8     NEUROPEPTIDER/BI
E12        582     NEUROPEPTIDERGIC/BI

=> e neuropeptide y/ct
ADDITIONAL TERMS AVAILABLE BY USING "NEUROPEPTIDE Y+XUSE/CT"
E#   FREQUENCY    AT     TERM
--   ---------    --     ----
E13          1           NEUROPEPTIDE W-23/CT
E14          1           NEUROPEPTIDE W-30/CT
E15       9271    27 --> NEUROPEPTIDE Y/CT
E16          2           NEUROPEPTIDE Y (13-36)/CT
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E17          1            NEUROPEPTIDE Y (18-36)/CT
E18          1            NEUROPEPTIDE Y (27-36)/CT
E19          1            NEUROPEPTIDE Y (3-36)/CT
E20         77            NEUROPEPTIDE Y (NPY)/CT
E21          1            NEUROPEPTIDE Y -LIKE IMMUNOREACTIVITY/CT
E22          2            NEUROPEPTIDE Y 1 RECEPTOR/CT
E23          1            NEUROPEPTIDE Y 13-36/CT
E24          1            NEUROPEPTIDE Y 18-36/CT

=> e e22+all
'ALL' IS NOT VALID HERE
E#   FREQUENCY    AT      TERM
--   ---------    --      ----
E25         77            NEUROPEPTIDE Y (NPY)/CT
E26          1            NEUROPEPTIDE Y -LIKE IMMUNOREACTIVITY/CT
E27          2        --> NEUROPEPTIDE Y 1 RECEPTOR/CT
E28          1            NEUROPEPTIDE Y 13-36/CT
E29          1            NEUROPEPTIDE Y 18-36/CT
E30          1            NEUROPEPTIDE Y 2 AGONIST/CT
E31          1            NEUROPEPTIDE Y 2 ANTAGONIST/CT
E32          2            NEUROPEPTIDE Y 2 RECEPTOR/CT
E33          1            NEUROPEPTIDE Y 22-36/CT
E34          1            NEUROPEPTIDE Y 25-36/CT
E35          1            NEUROPEPTIDE Y 28-36PTL/CT
E36          2            NEUROPEPTIDE Y 3-36/CT
Relationship codes are not available in multifile sessions.

=> s neuropeptide y 1 (W) (antagoni? or inhibit? or block?)
L2           1 NEUROPEPTIDE Y 1 (W) (ANTAGONI? OR INHIBIT? OR BLOCK?)

=> d l1 ti tot

L1   ANSWER 1 OF 12  HCAPLUS  COPYRIGHT 2003 ACS
TI   Neuropeptide Y (NPY) Y1 receptor antagonists

L1   ANSWER 2 OF 12  HCAPLUS  COPYRIGHT 2003 ACS
TI   Preparation of certain alkylene diamine-substituted heterocycles as NPY1
     receptor inhibitors

L1   ANSWER 3 OF 12  HCAPLUS  COPYRIGHT 2003 ACS
TI   Structure-activity relationships of neuropeptide Y Y1 receptor antagonists
     related to BIBP 3226

L1   ANSWER 4 OF 12  HCAPLUS  COPYRIGHT 2003 ACS
TI   Dihydropyrimidinone derivatives as neuropeptide Y antagonists

L1   ANSWER 5 OF 12  HCAPLUS  COPYRIGHT 2003 ACS
TI   Potent and selective 1,2,3-trisubstituted indole NPY Y-1 antagonists

L1   ANSWER 6 OF 12  HCAPLUS  COPYRIGHT 2003 ACS
TI   Combination therapy for the treatment of diabetes and obesity

L1   ANSWER 7 OF 12  HCAPLUS  COPYRIGHT 2003 ACS
TI   Potent and Selective 1,2,3-Trisubstituted Indole NPY Y-1 Antagonists

L1   ANSWER 8 OF 12  HCAPLUS  COPYRIGHT 2003 ACS
TI   Certain substituted benzylamine derivatives: a new class of neuropeptide
     Y1 specific ligands

L1   ANSWER 9 OF 12  HCAPLUS  COPYRIGHT 2003 ACS
TI   .omega.-Phenyl-.omega.-(2-pyridyl)alkyl-substituted bisguanidines are
     moderate neuropeptide Y antagonists

L1   ANSWER 10 OF 12  HCAPLUS  COPYRIGHT 2003 ACS
TI   Pharmacological evaluation of 1229U91, a novel high-affinity and selective
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neuropeptide Y-Y1 receptor antagonist

L1 ANSWER 11 OF 12 HCAPLUS COPYRIGHT 2003 ACS
TI Inhibition of sympathetic vasoconstriction in pigs in vivo by the neuropeptide Y-Y1 receptor antagonist BIBP 3226

L1 ANSWER 12 OF 12 HCAPLUS COPYRIGHT 2003 ACS
TI Pharmacological characterization of the selective nonpeptide neuropeptide Y1 receptor antagonist BIBP 3226

=> d ibib abs 1-12

L2 ANSWER 1 OF 1 BIOSIS COPYRIGHT 2003 BIOLOGICAL ABSTRACTS INC.
ACCESSION NUMBER: 2003:135843 BIOSIS
DOCUMENT NUMBER: PREV200300135843
TITLE: Neuropeptide Y receptor subtypes in the basolateral nucleus of the amygdala modulate anxiogenic responses in rats.
AUTHOR(S): Sajdyk, T. J.; Schober, D. A.; Gehlert, D. R. (1)
CORPORATE SOURCE: (1) Central Nervous System Research, Eli Lilly and Company, Lilly Corporate Center, DC 0510, Indianapolis, IN, 46285, USA: gehlert_donald_r@lilly.com USA
SOURCE: Neuropharmacology, (December 2002, 2002) Vol. 43, No. 7, pp. 1165-1172. print.
ISSN: 0028-3908.
DOCUMENT TYPE: Article
LANGUAGE: English
AB The behavioral effects induced by intra-amygdala stimulation of the neuropeptide Y (NPY) Y2 and the NPY Y5 receptor subtypes were assessed in the social interaction (SI) test. Microinjections of NPY3-36, an NPY Y2 preferring agonist, into the basolateral nucleus of the amygdala (BLA) produced bi-directional dose-response curve. At low doses NPY3-36 has an anxiogenic effect while at higher doses it produced an anxiolytic effect. Pretreatment with the NPY Y5 receptor antagonist Novartis 1(1 nmol), an analog of CGP71683A synthesized by Eli Lilly and Company, IN, blocked the anxiolytic effects of NPY3-36 (80 pmol), while pretreatment with BIBO 3304 (200 pmol), a Y1 antagonist, had no effect, suggesting that the Y5, but not the Y1 receptor was involved in the anxiolytic behavior produced following intra-amygdalar NPY3-36 administration. In addition, the Y5 antagonist had no behavioral effect when given alone at 1.0 nmol. These findings support the hypothesis that amygdalar Y2 receptors may play a role in mediating anxiogenic effects, while Y5 receptors may be involved in the anxiolytic behaviors of NPY.

=> d ibib abs 1-12 l1

L1 ANSWER 1 OF 12 HCAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2002:692535 HCAPLUS
DOCUMENT NUMBER: 138:131285
TITLE: Neuropeptide Y (NPY) Y1 receptor antagonists
AUTHOR(S): Dhawan, V. C.; Mullins, D. E.; Chance, W. T.; Sheriff, S.; Guzzi, M.; Parker, E. M.; Balasubramaniam, A.
CORPORATE SOURCE: Surgery, University of Cincinnati Medical Center, Cincinnati, OH, USA
SOURCE: Peptides: The Wave of the Future, Proceedings of the Second International and the Seventeenth American Peptide Symposium, San Diego, CA, United States, June 9-14, 2001 (2001), 672-673. Editor(s): Lebl, Michal; Houghten, Richard A. American Peptide Society: San Diego, Calif.
CODEN: 69DBAL; ISBN: 0-9715560-0-8
DOCUMENT TYPE: Conference
LANGUAGE: English
AB A series of potent and selective neuropeptide Y Y1 receptor subtype

antagonists was developed from structure-activity relationship with BW1911U90. Replacing the C-terminal amide with a Me ester or introducing .PSI.(CH2-NH)35-36 in BW1911U90 led to two analogs, BVD-10 and BVD-29, resp., both of which exhibited greater selectivity for Y1 receptors than BW1911U90, but with lower affinity. Dimerization of these monomers via Cys31, as in analogs BVD-21 and BVD-30, restored Y1 affinity. The corresponding C-terminal Me ester and the .PSI.(CH2-NH)35-36 analogs of GR231118, BVD-11 and BVD-42, resp., retained the subnanomolar affinity for Y1 receptors, and showed no agonist activity in cells expressing Y1 relative to Y2, Y4 and Y5 receptors than GR231118. In satiety studies, intrahypothalamic administration of the most potent Y1 antagonist, BVD-11, significantly attenuation food intake. The effects of the Y1 receptor antagonist was more pronounced in fasted rats than in NPY-treated satiated rats.

REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L1 ANSWER 2 OF 12 HCAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2001:247338 HCAPLUS
DOCUMENT NUMBER: 134:280854
TITLE: Preparation of certain alkylene diamine-substituted heterocycles as NPY1 receptor inhibitors
INVENTOR(S): Horvath, Raymond F.; Tran, Jennifer; De, Lombaert Stephane; Hodgetts, Kevin Julian; Carpino, Philip A.; Griffith, David A.
PATENT ASSIGNEE(S): Neurogen Corporation, USA; Pfizer, Inc.; De Lombaert, Stephane
SOURCE: PCT Int. Appl., 211 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001023389	A2	20010405	WO 2000-US26886	20000929
WO 2001023389	A3	20020510		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
EP 1224187	A2	20020724	EP 2000-967133	20000929
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL				
US 6506762	B1	20030114	US 2000-676941	20000929
JP 2003510327	T2	20030318	JP 2001-526541	20000929
BG 106508	A	20030228	BG 2002-106508	20020311
NO 2002001358	A	20020527	NO 2002-1358	20020319

PRIORITY APPLN. INFO.: US 1999-156870P P 19990930
WO 2000-US26886 W 20000929
OTHER SOURCE(S): MARPAT 134:280854
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The title compds. [I-III, etc.; X = N, CR14; W = S, O, NR15; Y = N, CR3;

E, F, G = CR3, N; R1 = H, alkyl, etc.; R2 = H, alkyl, cycloalkyl, etc.; A = (un)substituted (CH2)m (wherein m = 1-3); A and B form a (un)substituted carbocycle; A and R2, or B and R2 form (un)substituted aminocarbocycle, aminoheterocycle; B = (un)substituted (CH2)n (n = 1-3); R3, R16 = H, alkyl, etc.; R4 = (un)substituted aryl, heteroaryl; R5 = (cycloalkyl)alkyl, alkenyl, etc.; R6 = H, alkyl, etc.] which are potent antagonists at the NPY1 receptor, and are useful in treating physiol. disorders assocd. with an excess of neuropeptide Y, including eating disorders, such as, for example, obesity and bulimia, and certain cardiovascular diseases, for example, hypertension, were prepd. E.g., a multi-step synthesis of IV was described. The compds. I showed Ki of 0.1 nM - 10 .mu.M against NPY1 receptor binding.

L1 ANSWER 3 OF 12 HCAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2000:496118 HCAPLUS
DOCUMENT NUMBER: 133:232364
TITLE: Structure-activity relationships of neuropeptide Y Y1 receptor antagonists related to BIBP 3226
AUTHOR(S): Aiglstorfer, I.; Hendrich, I.; Moser, C.; Bernhardt, G.; Dove, S.; Buschauer, A.
CORPORATE SOURCE: Institute of Pharmacy, University of Regensburg, Regensburg, D-93040, Germany
SOURCE: Bioorganic & Medicinal Chemistry Letters (2000), 10(14), 1597-1600
CODEN: BMCLE8; ISSN: 0960-894X
PUBLISHER: Elsevier Science Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English
AB Analogs of BIBP 3226, (R)-N.alpha.-diphenylacetyl-N-(4-hydroxybenzyl)argininamide, were synthesized and investigated for Y1 antagonism (Ca2+-assay, HEL cells) and binding on Y1, Y2 and Y5 receptors. Replacing the benzylamino by a tetrahydrobenzazepinyl group preserves most of the Y1 activity. Combination with a NG-phenylpropyl arginine and a N.alpha.-p-biphenylylacetyl moiety shifted the NPY receptor selectivity towards Y5.
REFERENCE COUNT: 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L1 ANSWER 4 OF 12 HCAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1999:171000 HCAPLUS
TITLE: Dihydropyrimidinone derivatives as neuropeptide Y antagonists
CORPORATE SOURCE: Bristol-Myers Squibb Co., USA
SOURCE: Expert Opinion on Therapeutic Patents (1999), 9(3), 321-325
CODEN: EOTPEG; ISSN: 1354-3776
PUBLISHER: Ashley Publications
DOCUMENT TYPE: Journal
LANGUAGE: English
AB A series of 4-phenyl-1,4-dihydropyrimidinones are claimed as non-peptidic antagonists of the neuropeptide Y (NPY) Y1 receptor. The Bristol-Myers Squibb inventors describe the synthesis of ten pyrimidinone compds., four of which are final products of the claim. Pharmacol. data are not given although the inventors note that preferred compds. have IC50 values of < 100 nM when evaluated in a radiolabeled ligand displacement assay using [I125]-labeled PYY and cell membranes from a human neuroblastoma (SK-N-MC) cell line. No biol. or in vivo data (e.g., activity in a feeding model) is disclosed for any compds. of the claim. **Neuropeptide Y1 antagonists** may be useful for the treatment of feeding disorders such as obesity, and for cardiovascular diseases.
REFERENCE COUNT: 22 THERE ARE 22 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L1 ANSWER 5 OF 12 HCAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1998:566969 HCAPLUS

DOCUMENT NUMBER: 129:270544
TITLE: Potent and selective 1,2,3-trisubstituted indole NPY Y-1 antagonists
AUTHOR(S): Dax, Scott L.
CORPORATE SOURCE: The R.W. Johnson Pharmaceutical Research Institute, USA
SOURCE: Chemtracts (1998), 11(9), 656-661
CODEN: CHEMFW; ISSN: 1431-9268
PUBLISHER: Springer-Verlag New York Inc.
DOCUMENT TYPE: Journal
LANGUAGE: English

AB A condensation and commentary on the research by P. A. Hipskind et. al. The purpose of the study was to synthesize trisubstituted indoles with improved binding affinity for the neuropeptide Y (NPY) Y1 receptor, relative to a low micromolar lead compd. Within the past decade or so, many CNS-active peptides have been discovered and demonstrated to elicit profound effects on neuronal function and pharmacol.; at this time, the most abundant is believed to be neuropeptide Y (NPY). The researchers used a biased library screening method to obtain a low-mol. wt. indole that displayed modest affinity for the Y1 receptor (Ki = 2.1 .mu.M). This compd., a simple N-methyl-2,3-disubstituted indole, led the researchers to synthesize a series of analogs in which the substituents at these positions were modified.

REFERENCE COUNT: 16 THERE ARE 16 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L1 ANSWER 6 OF 12 HCAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1998:293388 HCAPLUS
DOCUMENT NUMBER: 129:599
TITLE: Combination therapy for the treatment of diabetes and obesity
INVENTOR(S): Smith, Roy G.; Cascieri, Margaret A.; MacIntyre, Euan; MacNeil, Douglas J.; Menke, John G.
PATENT ASSIGNEE(S): Merck & Co., Inc., USA; Smith, Roy G.; Cascieri, Margaret A.; Macintyre, Euan; Macneil, Douglas J.; Menke, John G.
SOURCE: PCT Int. Appl., 40 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9818481	A1	19980507	WO 1997-US19880	19971030
W: AL, AM, AU, AZ, BA, BB, BG, BR, BY, CA, CN, CU, CZ, EE, GE, HU, ID, IL, IS, JP, KG, KR, KZ, LC, LK, LR, LT, LV, MD, MG, MK, MN, MX, NO, NZ, PL, RO, RU, SG, SI, SK, SL, TJ, TM, TR, TT, UA, US, UZ, VN, YU, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
AU 9851606	A1	19980522	AU 1998-51606	19971030
AU 723879	B2	20000907		
US 5908830	A	19990601	US 1997-961749	19971030
EP 969852	A1	20000112	EP 1997-946442	19971030
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, FI				
JP 2002516605	T2	20020604	JP 1998-520803	19971030

PRIORITY APPLN. INFO.: US 1996-29233P P 19961031
GB 1997-11042 A 19970530
WO 1997-US19880 W 19971030

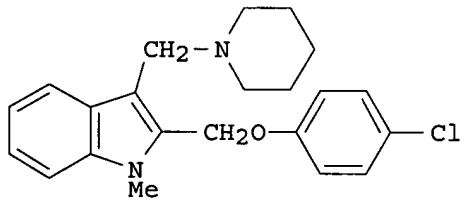
AB The combination of a metabolic rate-modifying agent (e.g., a .beta.3 adrenergic receptor agonist) and a feeding behavior modifying agent (e.g., a NPY5 antagonist) is useful in the treatment of obesity and diabetes,

either as compds., pharmaceutically acceptable salts, or pharmaceutical compn. ingredients. Methods of treating obesity and diabetes are also described.

REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L1 ANSWER 7 OF 12 HCAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1997:671220 HCAPLUS
DOCUMENT NUMBER: 127:325972
TITLE: Potent and Selective 1,2,3-Trisubstituted Indole NPY Y-1 Antagonists
AUTHOR(S): Hipskind, Philip A.; Lobb, Karen L.; Nixon, James A.; Britton, Thomas C.; Bruns, Robert F.; Catlow, John; Dieckman-McGinty, Donna K.; Gackenheimer, Susan L.; Gitter, Bruce D.; Iyengar, Smriti; Schober, Douglas A.; Simmons, Rosa M. A.; Swanson, Steve; Zarrinmayeh, Hamideh; Zimmerman, Dennis M.; Gehlert, Donald R.
CORPORATE SOURCE: Lilly Corporate Center, Lilly Research Laboratories A Division of Eli Lilly and Company, Indianapolis, IN, 46285, USA
SOURCE: Journal of Medicinal Chemistry (1997), 40(23), 3712-3714
CODEN: JMCMAR; ISSN: 0022-2623
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
GI



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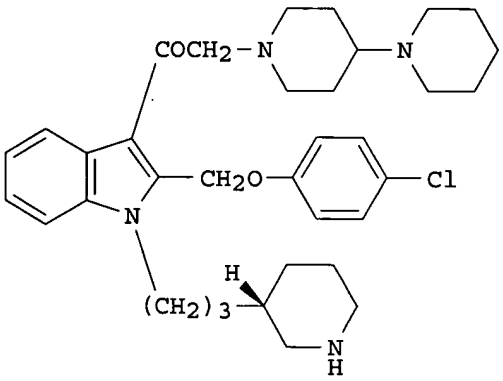

II

AB A series of potent neuropeptide Y (NPY) Y1 antagonists were desired to further understand the pharmacol. effects of NPY at its various receptor subtypes. Biased library screening and follow-up similarity searching of the Lilly Research Lab. compd. files for NPY Y1 antagonists uncovered the trisubstituted indole I (2.1 .mu.M). On the basis of this low mol. wt. lead, a series of trisubstituted indoles were pursued using traditional medicinal chem. In this paper the effects of substituent pattern modifications at N-1, C-2 and C-3 will be reported. The optimal substitution pattern was embodied by 1,2,3-trisubstituted indole II (0.75 nM). In addn. to chem. synthesis, radioligand binding affinities for the

cloned human Y1 receptor, in vitro functional activity and selectivity data vs. Y1, Y2, Y4 and Y5 receptor lines are reported. Initial in vivo data showing antagonism by II of the feeding induced by intracerebroventricularly injected NPY is also presented.

L1 ANSWER 8 OF 12 HCAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1997:124437 HCAPLUS
DOCUMENT NUMBER: 126:131470
TITLE: Certain substituted benzylamine derivatives: a new class of neuropeptide Y1 specific ligands
INVENTOR(S): Peterson, John M.; Blum, Charles A.; Cai, Guolin; Hutchison, Alan
PATENT ASSIGNEE(S): Pfizer Inc., USA; Peterson, John M.; Blum, Charles A.; Cai, Guolin; Hutchison, Alan
SOURCE: PCT Int. Appl., 36 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9640660	A1	19961219	WO 1996-US5843	19960426
W: AL, AM, AT, AU, AZ, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK				
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ZA 9603175	A	19971022	ZA 1996-3175	19960422
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JP 10507203	T2	19980714	JP 1996-500490	19960426
BR 9609334	A	19990525	BR 1996-9334	19960426

PRIORITY APPLN. INFO.:	US 1995-478383	A	19950607
	US 1995-484974	A	19950607
	WO 1995-US14472	A	19951107
	US 1994-335475	A2	19941107
	US 1995-474383	A2	19950607
	WO 1996-US5843	W	19960426

OTHER SOURCE(S): MARPAT 126:131470
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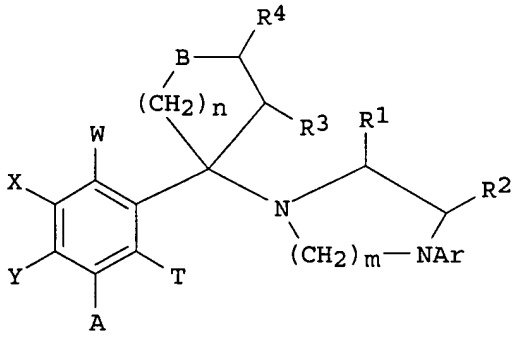

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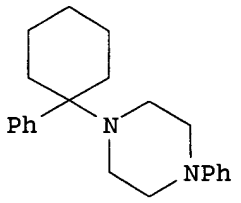

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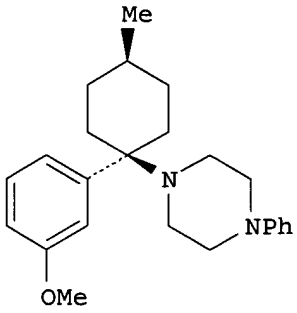

III

AB The invention encompasses compds. I and their pharmaceutically acceptable salts [wherein Ar = (un)substituted aryl, preferably Ph, pyridyl, thienyl, pyrimidyl; B = S, O, NR5, CR5R6, or (un)substituted spirocyclohexane; n = 1-3; m = 2-4; W, X, Y, T = H, halo, OH, alkyl, alkoxy; R1, R2 = H or alkyl; R3, R4 = H, alkyl, alkoxy; R5 = alkyl, Ph, pyridyl, phenylalkyl, pyridylalkyl; A, R6 = H, OH, amino, alkyl, alkoxy, Ph, (un)substituted PhCH2O, pyridyl, PhO, pyridyloxy, or -(CH2)pA'(CH2)qB'; p = 0-5; q = 1-5; A' = bond, O, S; B' = H, alkyl, alkoxy, Ph, pyridyl, PhO, pyridyloxy, CO2H, carboalkoxy, carboxamido, (di)alkylcarboxamido, (di)(alkyl)amino]. The compds. are highly selective partial agonists or antagonists at human NPY1 receptors, and are useful in the diagnosis and treatment of feeding disorders such as obesity and bulimia, as well as certain cardiovascular diseases such as essential hypertension and congestive heart failure. For instance, condensation of cyclohexanone with 1-phenylpiperazine and KCN in aq. HCl gave 73% 1-cyano-1-(4-phenylpiperazin-1-yl)cyclohexane, which reacted with PhMgBr in Et2O to give 80% title compd. II. The similarly prepd. compd. III, a preferred compd., had IC50 of 0.067 .mu.M for inhibition of specific binding of [125I]-PYY to human NPY1 receptor in vitro.

L1 ANSWER 9 OF 12 HCAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1996:385446 HCAPLUS
DOCUMENT NUMBER: 125:104258
TITLE: .omega.-Phenyl-.omega.-(2-pyridyl)alkyl-substituted bisguanidines are moderate neuropeptide Y antagonists
AUTHOR(S): Knieps, S.; Dove, S.; Michel, M. C.; Rottmeier, K.; Werner, W.; Bernhardt, G.; Buschauer, A.
CORPORATE SOURCE: Inst. Pharmazie, Univ. Regensburg, Regensburg, D-93040, Germany
SOURCE: Pharmaceutical and Pharmacological Letters (1996), 6(1), 27-30
CODEN: PPLEE3; ISSN: 0939-9488
PUBLISHER: Medpharm Scientific Publishers
DOCUMENT TYPE: Journal
LANGUAGE: English
AB Bisguanidines derived from the potent H2 agonist and weak

neuropeptide Y1 antagonist arpromidine were synthesized and tested for their Y1 antagonistic activity (HEL cells, inhibition of NPY-stimulated increase in [Ca2+]i). The potency of the most active compds. corresponds to pKB values in the range of 6.0-6.5. Activity strongly decreases if the basicity of the guanidine moieties is reduced, whereas bulky, non-polar substituents are tolerated in this position. Lipophilic substituents at the diaryl part enhance Y1 antagonism. Compared to flexible alkyl spacers, a rigid trans-1,4-cyclohexylene spacer between the guanidino groups does not lower activity. Thus, the binding conformation of the compds. at Y1 receptors is supposed to contain a guanidine-guanidine distance of about 8 .ANG..

L1 ANSWER 10 OF 12 HCAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1996:18873 HCAPLUS
DOCUMENT NUMBER: 124:136213
TITLE: Pharmacological evaluation of 1229U91, a novel high-affinity and selective neuropeptide Y-Y1 receptor antagonist
AUTHOR(S): Hegde, S. S.; Bonhaus, D. W.; Stanley, W.; Eglen, R. M.; Moy, T. M.; Loeb, M.; Shetty, S. G.; Desouza, A.; Krstenansky, J.
CORPORATE SOURCE: Roche Bioscience, Palo Alto, CA, USA
SOURCE: Journal of Pharmacology and Experimental Therapeutics (1995), 275(3), 1261-6
CODEN: JPETAB; ISSN: 0022-3565
PUBLISHER: Williams & Wilkins
DOCUMENT TYPE: Journal
LANGUAGE: English

AB The physiol. role of neuropeptide Y (NPY), peptide YY (PYY) and their receptors (Y1 and Y2) have been difficult to elucidate mainly due to the lack of selective and high-affinity antagonists. Recently, Burroughs Wellcome disclosed a series of cyclic peptides, including the compd. 1229U91, which were reported to be selective NPY receptor antagonists. The objective of this study was to evaluate the pharmacol. properties of 1229U91. In radioligand binding studies, 1229U91 displaced specifically bound [125I]PYY from SK-N-MC cells (Y1 receptors) and SK-N-BE(2) cells (Y2 receptors) yielding pKi .+-. S.E.M. ests. of 10.9 .+-. 0.2 and 7.9 .+-. 0.2, resp. In the isolated perfused kidney of rat (Y1 receptor assay), NPY (10-1000 ng, bolus injection) evoked concn.-dependent increases in perfusion pressure (EC50 = 54.5 ng). In this assay, 1229U91 (1, 10 and 100 nM) produced concn.-dependent dextral displacement of the concn.-effect curve of NPY. The antagonism was surmountable at 1 nM 1229U91 (apparent pA2 est. .+-. S.E.M. = 9.3 .+-. 0.4). At concns. of 10 and 100 nM, 1229U91 produced significant depression of the max. response to NPY (36 and 67%, resp.). In the vas deferens at rat (Y2 receptor assay), 1229U91 (3 .mu.M) had no effect on NPY-induced inhibition of elec. evoked twitch response. In pitched rats, 1229U91 (0.3, 1 and 3 .mu.g/kg/min i.v.) produced dose-dependent dextral displacement of the pressor dose-response curve to NPY yielding dose-ratio ests. of 2.4, 25.4 and 57.5, resp. 1229U91 (3 .mu.g/kg/min i.v.) had no effect on the pressor responses to norepinephrine or angiotensin II. When administered as a single i.v. bolus injection, 1229U91 (0.01-1 mg/kg i.v.) produced dose-dependent inhibition of the pressor response to NPY. At 0.3 and 1 mg/kg i.v., the inhibitory effects lasted for more than 95 min. The data suggest that 1229U91 is a high-affinity and selective Y1 receptor antagonist and would be of value for investigating the physiol. role of NPY and PYY in vitro and in vivo.

L1 ANSWER 11 OF 12 HCAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1995:977301 HCAPLUS
DOCUMENT NUMBER: 124:45266
TITLE: Inhibition of sympathetic vasoconstriction in pigs in vivo by the neuropeptide Y-Y1 receptor antagonist BIBP 3226
AUTHOR(S): Lundber, Jan M.; Modin, Agnes

CORPORATE SOURCE: Dep. of Physiology and Pharmacology, Karolinska Inst., Stockholm, S-171 77, Swed.
SOURCE: British Journal of Pharmacology (1995), 116(7), 2971-82
CODEN: BJPCBM; ISSN: 0007-1188
PUBLISHER: Stockton
DOCUMENT TYPE: Journal
LANGUAGE: English

AB Recently, a potent non-peptide antagonist of neuropeptide Y (NPY)-Y1 receptors has been developed. In this study, the selectivity of this compd., BIBP 3226, as a functional Y1 receptor antagonist, and the possible role of endogenous NPY in sympathetic vasoconstriction in different vascular beds have been investigated in anesthetized pigs. BIBP 3226 specifically displaced [125I]-NPY binding with an IC50 value of 7 nM in membranes of pig renal arteries, which also were responsive to a Y1 receptor agonist, but had only minor effects in the pig spleen (IC50 .mu.M), where instead [125I]-NPY binding was markedly inhibited by a Y2 receptor agonist. IC50 values in the same nM range for BIBP 3226 were also obsd. in rat and bovine cortex and dog spleen. In anesthetized control of pigs in vivo BIBP 3226 (1 and 3 mg kg-1) markedly inhibited the vasoconstrictor effects of the Y1 receptor agonist [Leu31, Pro34] NPY(1-36), without influencing the responses to the Y2 receptor agonist N-acetyl [Leu28, Leu31] NPY(24-36), or to noradrenaline, phenylephrine, .alpha.,.beta.-methylene ATP or angiotensin II. High frequency stimulation of the sympathetic trunk in control pigs caused a biphasic vasoconstrictor response in nasal mucosa, hind limb and skin: there was no immediate, peak response, followed by a long-lasting vasoconstriction. BIBP 3226 (1 and 3 mg kg-1) reduced the second phase by about 50% but had no effect on the peak response. In the spleen, kidney and mesenteric circulation (which lack the protracted response) BIBP 3226 was likewise without effect on the maximal vasoconstriction, and did not influence noradrenaline overflow from spleen and kidney. The corresponding S-enantiomer BIBP 3435 had only marginal influence on [125I]-NPY binding (.mu.M range) and did not inhibit the vasoconstrictor effects of any of the agonists used, including the Y1 receptor peptide agonist. Furthermore, BIBP 3435 did not affect the response to sympathetic nerve stimulation. Both BIBP 3435 and BIBP 3226 caused a slight transient decrease in mean arterial blood pressure (by about 5 and 15 mm Hg at 1 mg kg-1 and 3 mg kg-1, resp.) accompanied by splenic and mesenteric vasodilation, suggesting that this effect was unrelated to Y1 receptor blockade. The peptide YY (PYY)- and NPY-evoked vasoconstriction in the kidney of reserpine-treated pigs was markedly reduced (by 95%) by BIBP 3226 wile the vasoconstrictor effect in the spleen was attenuated by only 20%. BIBP 3226 (1 mg kg-1) markedly reduced (by 55-70%) the long-lasting vascular response (total integrated blood flow redn.) evoked by sympathetic nerve stimulation at high frequency (40 impulses at 20 Hz) in spleen, kidney, nasal mucosa and hind limb. Furthermore, the maximal amplitude of the vasoconstriction was reduced mainly in the kidney (by 60%) and also in the spleen (by 40%). It is concluded that BIBP 3226 can act as a selective Y1 receptor antagonist in the pig. Endogenous NPY via Y1 receptor activation may play a role in evoking the long-lasting vasoconstriction seen in nasal mucosa, hind limb and skin after high frequency stimulation of sympathetic nerves in control pigs. Furthermore, NPY via Y1 receptor mechanisms seems to be of major importance for the long-lasting component of the reserpine resistant sympathetic vasoconstriction in many vascular beds, and for the maximal vasoconstrictor response in the kidney. Circulating NPY and PYY induce splenic vasoconstriction via Y2-receptors in contrast to neuronally released NPY which mainly activates Y1 receptors.

L1 ANSWER 12 OF 12 HCAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1995:886476 HCAPLUS
DOCUMENT NUMBER: 123:306021
TITLE: Pharmacological characterization of the selective nonpeptide neuropeptide Y1 receptor antagonist BIBP

3226

AUTHOR(S): Doods, Henri N.; Wienen, Wolfgang; Entzeroth, Michael; Rudolf, Klaus; Eberlein, Wolfgang; Engel, Wolfhard; Wieland, Heike A.

CORPORATE SOURCE: Dr. Karl Thomae GmbH, Biberach, D-88397, Germany

SOURCE: Journal of Pharmacology and Experimental Therapeutics (1995), 275(1), 136-42
CODEN: JPETAB; ISSN: 0022-3565

PUBLISHER: Williams & Wilkins

DOCUMENT TYPE: Journal

LANGUAGE: English

AB The present study was undertaken to investigate the in vitro and in vivo pharmacol. profile of the novel, nonpeptide neuropeptide Y (NPY) Y1-selective antagonist, BIBP 3226 {(R)-N2-(diphenylacetyl)-N-[(4-hydroxyphenyl)methyl]-D-arginine-amide}, and a recently described peptidic structure [Ile-Glu-Pro-Orn-Tyr-Arg-Leu-Arg-Tyr-NH2, cyclic (2,4'), (2',4)-diamide]. BIBP 3226 antagonized the NPY Y1 receptor-mediated decrease in the twitch response in the rabbit vas deferens prepn. with a pKb value of 6.98. It showed no affinity (EC50 > 1 .mu.M) for NPY Y2 receptors in the rat vas deferens. NPY-induced increases in perfusion pressure in the isolated perfused rat kidney and rabbit ear prepns. were antagonized with IC50 values of 26.8 and 214 nM, resp. The NPY-mediated potentiation of the noradrenaline elicited increase in perfusion pressure in the rat mesenteric bed was antagonized with an IC50 value of 976 (542-1760) nM. The NPY-induced increase in blood pressure in the pithed rat was inhibited by BIBP 3226 dose-dependently (ED50 = 0.11 mg/kg i.v.), whereas no effect of BIBP 3226 (1 mg/kg i.v.) was obsd. for the noradrenaline-, angiotensin-, endothelin- or vasopressin-induced pressor response. The data presented demonstrate that BIBP 3226 is a competitive and NPY Y1-selective antagonist. The peptidic compd. proved to possess high potency for NPY Y1 receptors, but showed both agonistic as well as antagonistic properties. BIBP 3226 in doses up to 3 mg/kg i.v. did not lower blood pressure in conscious spontaneously hypertensive rats. This might indicate that NPY or the NPY Y1 receptor do not play a relevant role in the maintenance of blood pressure in the spontaneously hypertensive rat.

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L3            0 L1 AND SEX?

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CA SUBSCRIBER PRICE                                    -7.81      -7.81

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AND TECHNOLOGY CORPORATION, AND FACHINFORMATIONSZENTRUM KARLSRUHE

FILE CONTAINS CURRENT INFORMATION.
LAST RELOADED: Jun 20, 2003 (20030620/UP).

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Property values tagged with IC are from the ZIC/VINITI data file
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STRUCTURE FILE UPDATES: 24 JUN 2003 HIGHEST RN 536971-45-6
DICTIONARY FILE UPDATES: 24 JUN 2003 HIGHEST RN 536971-45-6

TSCA INFORMATION NOW CURRENT THROUGH JANUARY 6, 2003

Please note that search-term pricing does apply when
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Crossover limits have been increased. See HELP CROSSOVER for details.

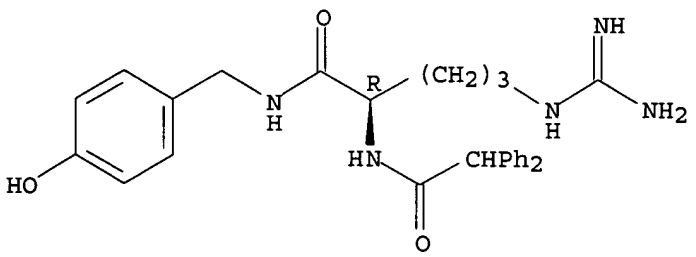
Experimental and calculated property data are now available. See HELP
PROPERTIES for more information. See STNote 27, Searching Properties
in the CAS Registry File, for complete details:
http://www.cas.org/ONLINE/STN/STNOTES/stnotes27.pdf

=> s bibp 3226/cn
L4 1 BIBP 3226/CN

=> d

L4 ANSWER 1 OF 1 REGISTRY COPYRIGHT 2003 ACS
RN 159013-54-4 REGISTRY
CN Benzeneacetamide, N-[(1R)-4-[(aminoiminomethyl)amino]-1-[[[(4-
hydroxyphenyl)methyl]amino]carbonyl]butyl]-.alpha.-phenyl- (9CI) (CA
INDEX NAME)
OTHER CA INDEX NAMES:
CN Benzeneacetamide, N-[4-[(aminoiminomethyl)amino]-1-[[[(4-
hydroxyphenyl)methyl]amino]carbonyl]butyl]-.alpha.-phenyl-, (R)-
OTHER NAMES:
CN **BIBP 3226**
FS STEREOSEARCH
MF C27 H31 N5 O3
CI COM
SR CA
LC STN Files: ADISNEWS, BIOSIS, BIOTECHNO, CA, CAPLUS, CHEMCATS, DRUGNL,
DRUGUPDATES, EMBASE, PHAR, TOXCENTER, USPATFULL

Absolute stereochemistry.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

79 REFERENCES IN FILE CA (1957 TO DATE)
1 REFERENCES TO NON-SPECIFIC DERIVATIVES IN FILE CA

79 REFERENCES IN FILE CAPLUS (1957 TO DATE)

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E37 THROUGH E38 ASSIGNED

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FILE 'BIOSIS' ENTERED AT 13:00:37 ON 25 JUN 2003
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FILE 'USPATFULL' ENTERED AT 13:00:37 ON 25 JUN 2003
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L6       966664 SEX? OR ERECT? OR IMPOTEN?

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L7           13 L5 AND L6

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PROCESSING COMPLETED FOR L7
L8           11 DUP REM L7 (2 DUPLICATES REMOVED)

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L8   ANSWER 1 OF 11  USPATFULL
ACCESSION NUMBER:       2003:127094  USPATFULL
TITLE:                  Methods for identifying novel multimeric agents that
                        modulate receptors
INVENTOR(S):            Christensen, Burton G., Alamo, CA, UNITED STATES
                        Griffin, John H., Atherton, CA, UNITED STATES
                        Jenkins, Thomas E., La Honda, CA, UNITED STATES
                        Judice, J. Kevin, El Granada, CA, UNITED STATES

                             NUMBER        KIND    DATE
                        ---------------- ------ --------
PATENT INFORMATION:     US 2003087306      A1   20030508
APPLICATION INFO.:      US 2001-15534      A1   20011213  (10)
RELATED APPLN. INFO.:   Continuation of Ser. No. US 2000-493462, filed on 28
                        Jan 2000, ABANDONED Continuation of Ser. No. US
                        1999-327904, filed on 8 Jun 1999, ABANDONED

                              NUMBER         DATE
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PRIORITY INFORMATION:   US 1998-92938P     19980715 (60)
                        US 1998-88466P     19980608 (60)
DOCUMENT TYPE:          Utility
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FILE SEGMENT: APPLICATION
LEGAL REPRESENTATIVE: THERAVANCE, INC., 901 GATEWAY BOULEVARD, SOUTH SAN FRANCISCO, CA, 94080
NUMBER OF CLAIMS: 35
EXEMPLARY CLAIM: 1
NUMBER OF DRAWINGS: 52 Drawing Page(s)
LINE COUNT: 8387
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB Disclosed are novel multi-binding compounds (agents) which bind cellular receptors. The compounds of this invention comprise a plurality of ligands each of which can bind to such cellular receptors thereby modulating the biological processes/functions thereof. Each of the ligands is covalently attached to a linker or linkers which may be the same of different to provide for the multi-binding compound. The linker is selected such that the multi-binding compound so constructed demonstrates increased modulation or disruption of the biological processes/functions of the cell. Also disclosed is a method for identifying such novel multi-binding compounds which bind cellular receptors and a method for generating a mixture of such novel multi-binding compounds.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L8 ANSWER 2 OF 11 USPATFULL
ACCESSION NUMBER: 2003:70965 USPATFULL
TITLE: Method for enhancing endothelial function in humans
INVENTOR(S): Karvonen, Matti, Turku, FINLAND
Koulu, Markku, Turku, FINLAND
Pesonen, Ullamari, Turku, FINLAND
Ronnemaa, Tapani, Piispanristi, FINLAND
Jarvisalo, Mikko, Turku, FINLAND
Jartti, Laura, Turku, FINLAND
Raitakari, Olli, Turku, FINLAND

	NUMBER	KIND	DATE	
PATENT INFORMATION:	US 2003049250	A1	20030313	
APPLICATION INFO.:	US 2001-946174	A1	20010905	(9)

DOCUMENT TYPE: Utility
FILE SEGMENT: APPLICATION
LEGAL REPRESENTATIVE: ROTHWELL, FIGG, ERNST & MANBECK, P.C., 1425 K STREET, N.W., SUITE 800, WASHINGTON, DC, 20005
NUMBER OF CLAIMS: 24
EXEMPLARY CLAIM: 1
NUMBER OF DRAWINGS: 2 Drawing Page(s)
LINE COUNT: 931
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB The present invention concerns a method for enhancing the endothelial function in humans, comprising administering to the person an NPY receptor active agent, wherein said receptor is present in the endothelial tissue. Furthermore, the invention concerns methods for the treatment or prevention of atherosclerotic vascular diseases; vascular spasm associated with angina pectoris; micro- or macrovascular complications of diabetes; premature ejaculation and **impotence** ; or any disease or disorder where a deficit in the formation of nitric oxide for the vascular endothelium appears evident, said methods comprising administering to the person an NPY receptor active agent, wherein said receptor is present in the endothelial tissue.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L8 ANSWER 3 OF 11 USPATFULL
ACCESSION NUMBER: 2003:51694 USPATFULL
TITLE: Spiro[isobenzofuran-1,4'-piperidin]-3-ones and 3H-spiroisobenzofuran-1,4'-piperidines

INVENTOR(S): Bakthavatchalam, Rajagopal, Branford, CT, UNITED STATES
Blum, Charles A., Westbrook, CT, UNITED STATES
Brielmann, Harry L., Guilford, CT, UNITED STATES
Darrow, James William, Wallingford, CT, UNITED STATES
Lombaert, Stephane De, Madison, CT, UNITED STATES
Hutchison, Alan, Madison, CT, UNITED STATES
Tran, Jennifer, Guilford, CT, UNITED STATES
Zheng, Xiaozhang, Branford, CT, UNITED STATES
Elliott, Richard Louis, East Lyme, CT, UNITED STATES
Hammond, Marlys, Salem, CT, UNITED STATES
PATENT ASSIGNEE(S): NEUROGEN CORPORATION (U.S. corporation)

	NUMBER	KIND	DATE	
PATENT INFORMATION:	US 2003036652	A1	20030220	
	US 6566367	B2	20030520	
APPLICATION INFO.:	US 2001-13846	A1	20011211	(10)

	NUMBER	DATE
PRIORITY INFORMATION:	US 2000-254990P	20001212 (60)

DOCUMENT TYPE: Utility
FILE SEGMENT: APPLICATION
LEGAL REPRESENTATIVE: LADAS & PARRY, 26 WEST 61ST STREET, NEW YORK, NY, 10023
NUMBER OF CLAIMS: 60
EXEMPLARY CLAIM: 1
LINE COUNT: 4657
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB Substituted spiro[isobenzofuran-1,4'-piperidin]-3-ones and 3H-spiroisobenzofuran-1,4'-piperidines capable of modulating NPY5 receptor activity are provided. Such compounds may be used to modulate ligand binding to NPY5 receptors in vivo or in vitro, and are particularly useful in the treatment of a variety of disorders (e.g., eating disorders such as obesity or bulimia, psychiatric disorders, diabetes and cardiovascular disorders such as hypertension) in humans, domesticated companion animals and livestock animals. Pharmaceutical compositions and methods for treating such disorders are provided, as are methods for using such compounds for detecting NPY5 receptors.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L8 ANSWER 4 OF 11 USPATFULL
ACCESSION NUMBER: 2002:32562 USPATFULL
TITLE: Alkylamine derivatives of dihydropyridine NPY antagonists
INVENTOR(S): Poindexter, Graham S., Old Saybrook, CT, UNITED STATES
Bruce, Marc, Wallingford, CT, UNITED STATES
Sit, Sing-Yuen, Meriden, CT, UNITED STATES
Martin, Scott W., Middletown, CT, UNITED STATES

	NUMBER	KIND	DATE	
PATENT INFORMATION:	US 2002019384	A1	20020214	
	US 6479482	B2	20021112	
APPLICATION INFO.:	US 2001-852983	A1	20010510	(9)

	NUMBER	DATE
PRIORITY INFORMATION:	US 2000-202901P	20000510 (60)

DOCUMENT TYPE: Utility
FILE SEGMENT: APPLICATION
LEGAL REPRESENTATIVE: MARLA J MATHIAS, BRISTOL-MYERS SQUIBB COMPANY, PATENT DEPARTMENT, P O BOX 4000, PRINCETON, NJ, 08543-4000
NUMBER OF CLAIMS: 12
EXEMPLARY CLAIM: 1

LINE COUNT: 1207
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB A series of non-peptidergic antagonists of NPY have been synthesized and are comprises of amino and piperazine derivatives of 4-phenyl-1,4-dihydropyridines of Formula 1. ##STR1##

where X is CH or N

As antagonists of NPY-induced behavior, these compounds are expected to act as effective anorexiant agents in promoting weight loss and treating eating disorders.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L8 ANSWER 5 OF 11 USPATFULL
ACCESSION NUMBER: 2002:22487 USPATFULL
TITLE: Oxadiazole and thiadiazole derivatives of dihydropyridine NPY antagonists
INVENTOR(S): Poindexter, Graham S., Old Saybrook, CT, UNITED STATES
Higgins, Mendi, Middletown, CT, UNITED STATES
Breitenbucher, James Guy, Escondido, CA, UNITED STATES

	NUMBER	KIND	DATE	
PATENT INFORMATION:	US 2002013323	A1	20020131	
APPLICATION INFO.:	US 2001-897532	A1	20010702	(9)

	NUMBER	DATE
PRIORITY INFORMATION:	US 2000-216985P	20000707 (60)

DOCUMENT TYPE: Utility
FILE SEGMENT: APPLICATION
LEGAL REPRESENTATIVE: MARLA J MATHIAS, BRISTOL-MYERS SQUIBB COMPANY, PATENT DEPARTMENT, P O BOX 4000, PRINCETON, NJ, 08543-4000
NUMBER OF CLAIMS: 19
EXEMPLARY CLAIM: 1
LINE COUNT: 1029
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB A series of non-peptidergic antagonists of NPY have been synthesized and are comprised of oxadiazole, thiadiazole and thiadiazole oxide derivatives of dihydropyridines of Formula I. ##STR1##

wherein B is ##STR2##

with X being O, S or ##STR3##

and X.sup.1 is O or S.

As antagonists of NPY-induced behavior, these compounds are expected to act as effective anorexiant agents in promoting weight loss and treating eating disorders.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L8 ANSWER 6 OF 11 USPATFULL
ACCESSION NUMBER: 2001:224153 USPATFULL
TITLE: 4-Alkyl and 4-cycloalkyl derivatives of dihydropyridine NPY antagonists
INVENTOR(S): Sit, Sing-Yuen, Meriden, CT, United States

	NUMBER	KIND	DATE	
PATENT INFORMATION:	US 2001049370	A1	20011206	
	US 6444675	B2	20020903	
APPLICATION INFO.:	US 2001-841418	A1	20010424	(9)

	NUMBER	DATE
PRIORITY INFORMATION:	US 2000-202900P	20000510 (60)

DOCUMENT TYPE: Utility
FILE SEGMENT: APPLICATION
LEGAL REPRESENTATIVE: MARLA J MATHIAS, BRISTOL-MYERS SQUIBB COMPANY, PATENT DEPARTMENT, P O BOX 4000, PRINCETON, NJ, 08543-4000
NUMBER OF CLAIMS: 15
EXEMPLARY CLAIM: 1
LINE COUNT: 818
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB A series of non-peptidergic antagonists of NPY have been synthesized and are comprised of 4-alkyl and cycloalkyl derivatives of dihydropyridines of Formula I. ##STR1##

X=--NH-- or a covalent bond

A=alkyl, cycloalkyl

As antagonists of NPY-induced behavior, these compounds are expected to act as effective anorexiant agents in promoting weight loss and treating eating disorders.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L8 ANSWER 7 OF 11 USPATFULL
ACCESSION NUMBER: 2001:218513 USPATFULL
TITLE: Thiourea derivatives of dihydropyridine NPY antagonists
INVENTOR(S): Sit, Sing-Yuen, Meriden, CT, United States

	NUMBER	KIND	DATE	
PATENT INFORMATION:	US 2001047003	A1	20011129	
	US 6391881	B2	20020521	
APPLICATION INFO.:	US 2001-841398	A1	20010424	(9)

	NUMBER	DATE
PRIORITY INFORMATION:	US 2000-205995P	20000519 (60)

DOCUMENT TYPE: Utility
FILE SEGMENT: APPLICATION
LEGAL REPRESENTATIVE: MARLA J MATHIAS, BRISTOL-MYERS SQUIBB COMPANY, PATENT DEPARTMENT, P O BOX 4000, PRINCETON, NJ, 08543-4000
NUMBER OF CLAIMS: 11
EXEMPLARY CLAIM: 1
LINE COUNT: 644
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB A series of antagonists of NPY have been synthesized and are comprised of thiourea linked piperazine and piperidine derivatives of 4-phenyl-1,4-dihydropyridines of Formula 1. ##STR1##

where Z is NR.sup.7R.sup.8 or ##STR2##

and X is CH or N.

As antagonists of NPY-induced behavior, these compounds are expected to act as effective anorexiant agents in promoting weight loss and treating eating disorders.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L8 ANSWER 8 OF 11 USPATFULL
ACCESSION NUMBER: 2001:218512 USPATFULL
TITLE: Squarate derivatives of dihydropyridine NPY antagonists

INVENTOR(S): Sit, Sing-Yuen, Meriden, CT, United States
Poindexter, Graham S., Old Saybrook, CT, United States

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 2001047002	A1	20011129
	US 6432960	B2	20020813
APPLICATION INFO.:	US 2001-841349	A1	20010424 (9)

	NUMBER	DATE
PRIORITY INFORMATION:	US 2000-203371P	20000510 (60)

DOCUMENT TYPE: Utility
FILE SEGMENT: APPLICATION
LEGAL REPRESENTATIVE: MARLA J MATHIAS, BRISTOL-MYERS SQUIBB COMPANY, PATENT DEPARTMENT, P O BOX 4000, PRINCETON, NJ, 08543-4000
NUMBER OF CLAIMS: 10
EXEMPLARY CLAIM: 1
LINE COUNT: 585
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB A series of antagonists of NPY have been synthesized and are comprised of squarate derivatives of 4-phenyl-1,4-dihydropyridines of Formula (I). ##STR1##

As antagonists of NPY-induced behavior, these compounds are expected to act as effective anorexiant agents in promoting weight loss and treating eating disorders.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L8 ANSWER 9 OF 11 MEDLINE DUPLICATE 1
ACCESSION NUMBER: 2001075171 MEDLINE
DOCUMENT NUMBER: 20517511 PubMed ID: 11062331
TITLE: Acceleration of pubertal development following central blockade of the Y1 subtype of neuropeptide Y receptors.
AUTHOR: Pralong F P; Voirol M; Giacomini M; Gaillard R C; Grouzmann E
CORPORATE SOURCE: Division of Endocrinology, Diabetology and Metabolism, Department of Medicine, Lausanne University Hospital, 1011, Lausanne, Switzerland.. francois.pralong@chuv.hospvd.ch
SOURCE: REGULATORY PEPTIDES, (2000 Nov 24) 95 (1-3) 47-52. Journal code: 8100479. ISSN: 0167-0115.
PUB. COUNTRY: Netherlands
DOCUMENT TYPE: Journal; Article; (JOURNAL ARTICLE)
LANGUAGE: English
FILE SEGMENT: Priority Journals
ENTRY MONTH: 200101
ENTRY DATE: Entered STN: 20010322
Last Updated on STN: 20010322
Entered Medline: 20010104

AB Pubertal development results from the coordinate secretion of gonadotropin-releasing hormone (GnRH) by hypothalamic GnRH neurons. Central administration of neuropeptide Y (NPY) to prepubertal rats can indefinitely delay **sexual** maturation by inhibiting this GnRH secretion. The aim of the present study was to further investigate the physiological role of NPY in pubertal development, and to assess the potential involvement of its Y1 receptor subtype in this setting. The timing of pubertal development was determined in juvenile female rats receiving chronic i.c.v. infusion of a specific Y1 receptor antagonist (**BIBP 3226**), and compared with controls. Although treatment with **BIBP 3226** did not affect the age at vaginal opening, animals receiving the Y1 antagonist experienced a quicker progression through puberty, corroborated by a significant increase in pituitary luteinizing hormone content. This effect of BIBP3226 on the gonadotrope axis occurred without apparent toxicity, but was accompanied

by a transient decrease in body weight gain on the first day of treatment, suggesting an effect on appetite. Together, our results add to the evidence in favour of a role for NPY in the onset of puberty. They are entirely consistent with the proposed inhibition exerted by endogenous hypothalamic NPY before the onset of pubertal development. They also suggest that the Y1 subtype of NPY receptors is involved in this effect.

L8 ANSWER 10 OF 11 USPATFULL

ACCESSION NUMBER:	1999:151023 USPATFULL
TITLE:	Methods of modifying feeding behavior compounds useful in such methods and DNA encoding a hypothalmic atypical neuropeptide Y/peptide YY receptor Y5
INVENTOR(S):	Gerald, Christophe P. G., Ridgewood, NJ, United States Weinshank, Richard L., Teaneck, NJ, United States Walker, Mary W., Elmwood Park, NJ, United States Branchek, Theresa, Teaneck, NJ, United States
PATENT ASSIGNEE(S):	Synaptic Pharmaceutical Corporation, Paramus, NJ, United States (U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 5989920		19991123
APPLICATION INFO.:	US 1996-668650		19960604 (8)

RELATED APPLN. INFO.:	Continuation-in-part of Ser. No. US 1995-566096, filed on 1 Dec 1995 which is a continuation-in-part of Ser. No. US 1994-349025, filed on 2 Dec 1994, now patented, Pat. No. US 5602024
DOCUMENT TYPE:	Utility
FILE SEGMENT:	Granted
PRIMARY EXAMINER:	Caputa, Anthony C.
ASSISTANT EXAMINER:	Gucker, Stephen
LEGAL REPRESENTATIVE:	White, John P.Cooper & Dunham LLP
NUMBER OF CLAIMS:	15
EXEMPLARY CLAIM:	1
NUMBER OF DRAWINGS:	47 Drawing Figure(s); 42 Drawing Page(s)
LINE COUNT:	5364

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB This invention provides methods of modifying feeding behavior, including increasing or decreasing food consumption, e.g., in connection with treating obesity, bulimia or anorexia. These methods involve administration of compounds that are selective agonists or antagonists for the Y5 receptor. One such compound has the structure: ##STR1## In addition, this invention provides an isolated nucleic acid molecule encoding a Y5 receptor, an isolated Y5 receptor protein, vectors comprising an isolated nucleic acid molecule encoding a Y5 receptor, cells comprising such vectors, antibodies directed to the Y5 receptor, nucleic acid probes useful for detecting nucleic acid encoding Y5 receptors, antisense oligonucleotides complementary to any unique sequences of a nucleic acid molecule which encodes a Y5 receptor, and nonhuman transgenic animals which express DNA encoding a normal or a mutant Y5 receptor.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L8 ANSWER 11 OF 11 HCAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER:	1997:29962 HCAPLUS
DOCUMENT NUMBER:	126:99376
TITLE:	Neuropeptide Y: A major regulator of cardiovascular responses to stress
AUTHOR(S):	Zukowska-Grojec, Z.; Golczynska, M.; Lewandowski, J.; Pruszczyk, P.; Switalska, H.; Hiremagalur, B.; Sabban, E.; Wocial, B.
CORPORATE SOURCE:	Department Physiology and Biophysics, Georgetown University Medical Center, Washington, DC, USA
SOURCE:	Stress: Molecular Genetic and Neurobiological

Advances, Proceedings of the International Symposium on Catecholamines and Other Neurotransmitters in Stress, 6th, Smolenice Castle, Slovakia, June 19-24, 1995 (1996), Meeting Date 1995, Volume 2, 513-529. Editor(s): McCarty, Richard. Harwood: Amsterdam, Neth.
CODEN: 63WCA9

DOCUMENT TYPE: Conference; General Review
LANGUAGE: English

AB A review, with 38 refs., on the results of studies on gonadectomized rats with or without **sex** hormone replacement subjected to the cold pressor test, and studies on ovariectomized women with or without estrogen supplementation, undergoing cold pressor test and treadmill exercise. The potential contribution of neuropeptide Y-Y1 vasoconstrictor receptors to stress-induced vasoconstriction was tested by the effects of a novel specific Y1 receptor antagonists (**BIBP 3226**) on mesenteric blood flow in cold-stressed male rats.

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